

01/09

## EXENDIN-3

His	Ser	Asp	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu
1				5					10					15	
Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser
		20						25					30		
Ser	Gly	Ala	Pro	Pro	Pro	Ser-NH <sub>2</sub>									
		35													

*Fig. 1*

## EXENDIN-4

His	Gly	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu
				5					10					15	
Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser
			20					25					30		
Ser	Gly	Ala	Pro	Pro	Pro	Ser-NH <sub>2</sub>									
		35													

*Fig. 2*GLP-1 (GLP-1 [7-36]NH<sub>2</sub>)

His	Ala	Glu	Gly	Thr	Phe	Thr	Ser	Asp	Val	Ser	Ser	Tyr	Leu	Glu	Gly
				5					10					15	
Gln	Ala	Ala	Lys	Glu	Phe	Ile	Ala	Trp	Leu	Val	Lys	Gly	Arg-NH <sub>2</sub>		
			20					25					30		

*Fig. 3*

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Fig. 4A

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03/09

Amino Acid Position	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20	21	22	23	24	25	26	27	28	29	30	31	32	33	34	35	36	37	38
Compound 36	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser	NH2						
Compound 37	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	Gly	Gly	Pro	Ser	NH2						
Compound 38	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	NH2							
Compound 39	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	Gly	Gly	Pro	NH2							
Compound 40	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	Gly	Gly	NH2								
Compound 41	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	NH2									
Compound 42	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	Gly	NH2									
Compound 43	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser	Ser	Gly	Ala	Pro	Pro	NH2	
Compound 44	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Nme	Ser	Ser	Ser	Gly	Ala	Nme	Nme	NH2
Compound 45	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	hPro	Ser	Ser	Ser	Gly	Ala	hPro	hPro	NH2
Compound 46	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser	Ser	Ser	Gly	Ala	NH2		
Compound 47	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	hPro	Ser	Ser	Ser	Gly	Ala	hPro	hPro	NH2
Compound 48	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	Pro	Ser	Ser	Ser	Gly	Ala	NH2		
Compound 49	Arg	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	NH2								
Compound 50	His	Gly	Asp	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	NH2									
Compound 51	His	Gly	Gly	Thr	naph	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	NH2										
Compound 52	His	Gly	Gly	Thr	Phe	Ser	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	NH2										
Compound 53	His	Gly	Gly	Thr	Phe	Ser	Thr	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	NH2										
Compound 54	His	Gly	Gly	Thr	Phe	Thr	Ser	Glu	Leu	Ser	Lys	Gln	Met	Ala	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	NH2										
Compound 55	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	pGly	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	NH2										
Compound 56	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	NH2										
Compound 57	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	NH2										
Compound 58	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Leu	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	As	Phe	Leu	Lys	Asn	NH2										
Compound 59	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Ala	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Phe	Leu	Lys	Asn	Gly	Gly	Pro	Ser	Ser	NH2					
Compound 60	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Ala	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	NH2									
Compound 61	His	Gly	Gly	Thr	Phe	Thr	Ser	Asp	Ala	Ser	Lys	Gln	Met	Glu	Glu	Glu	Ala	Val	Arg	Leu	Phe	Ile	Glu	Trp	Leu	Lys	Asn	Gly	Gly	hPro	Ser	Ser	Gly	Ala	hPro	hPro	NH2	

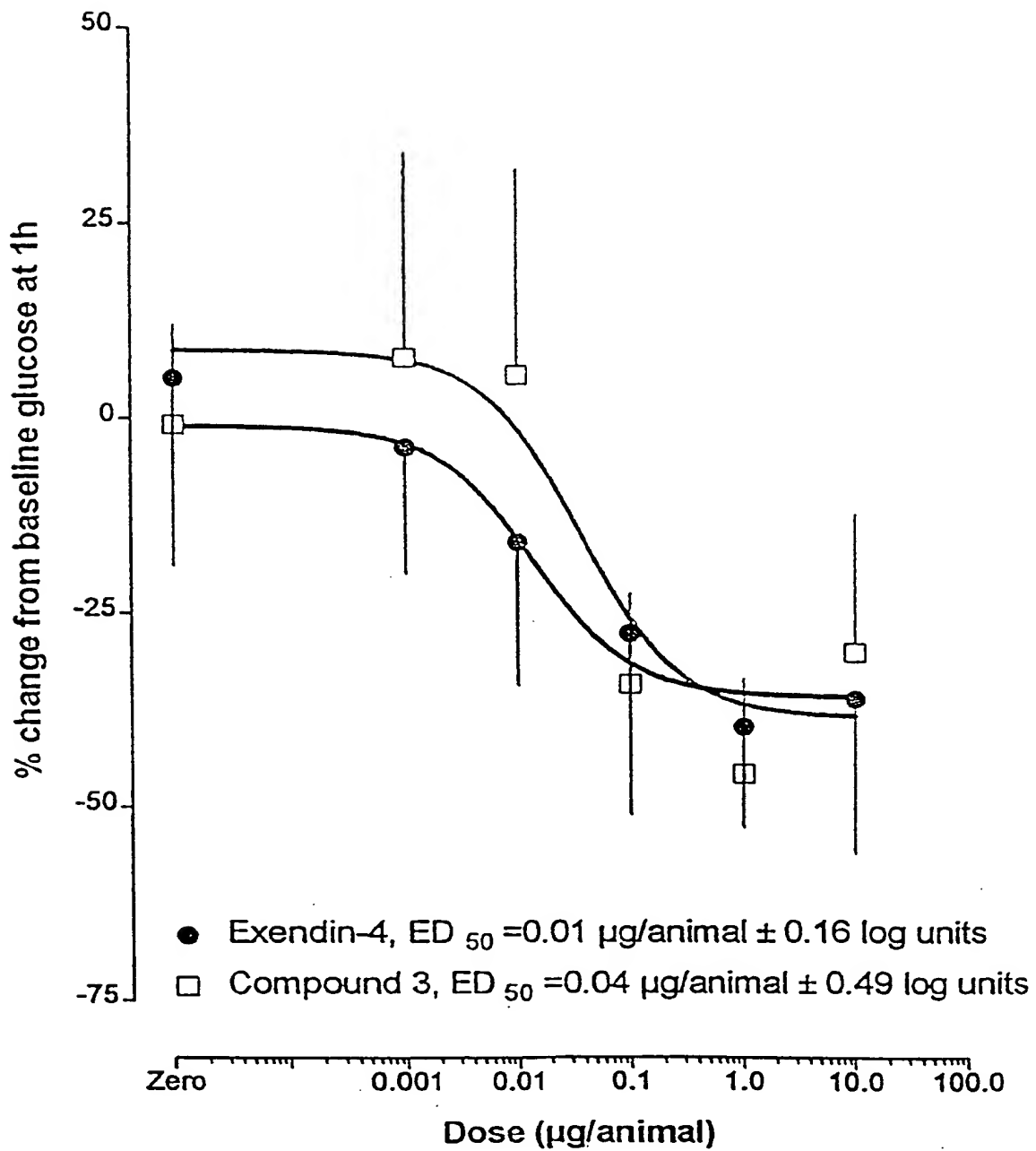
Fig. 4B

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04/09

# Glucose lowering effect in db/db mice at 1 hr time point

**Fig. 5**

05/09

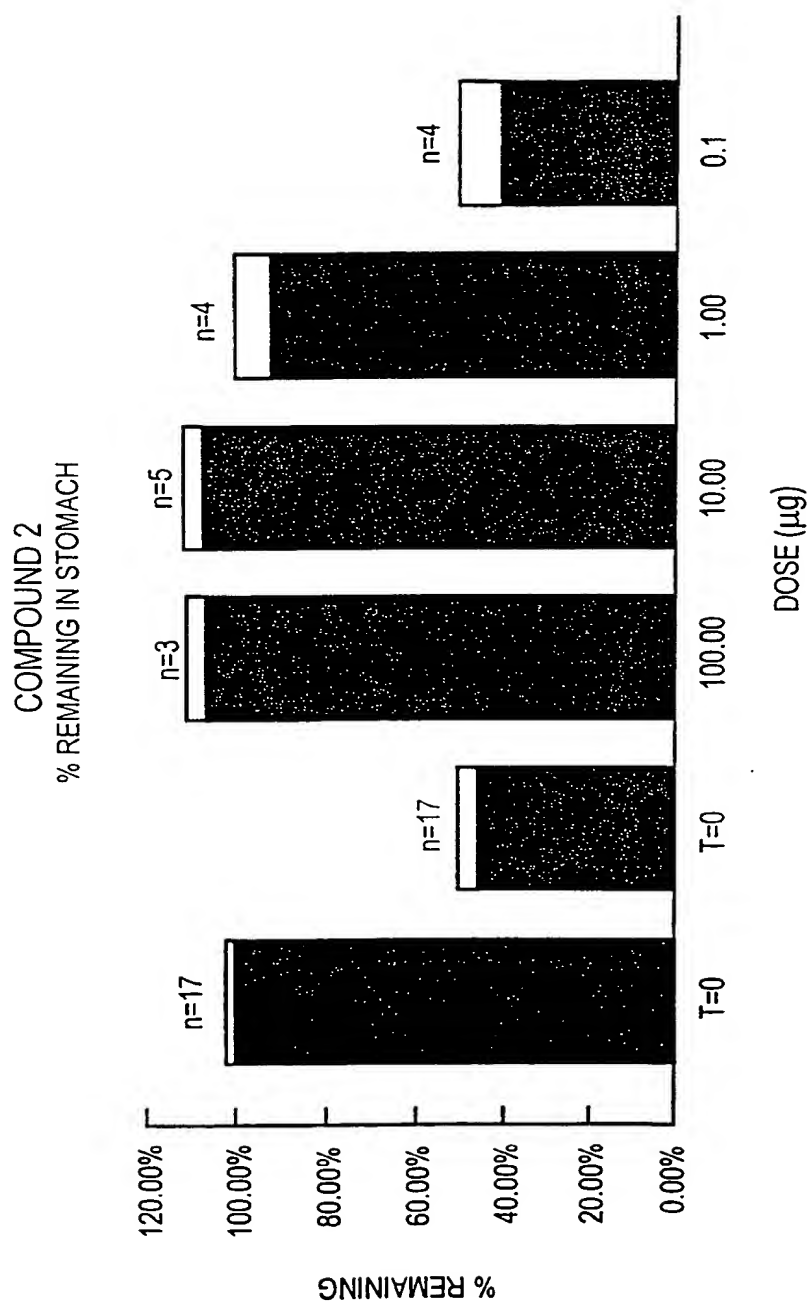


Fig. 6

06/09

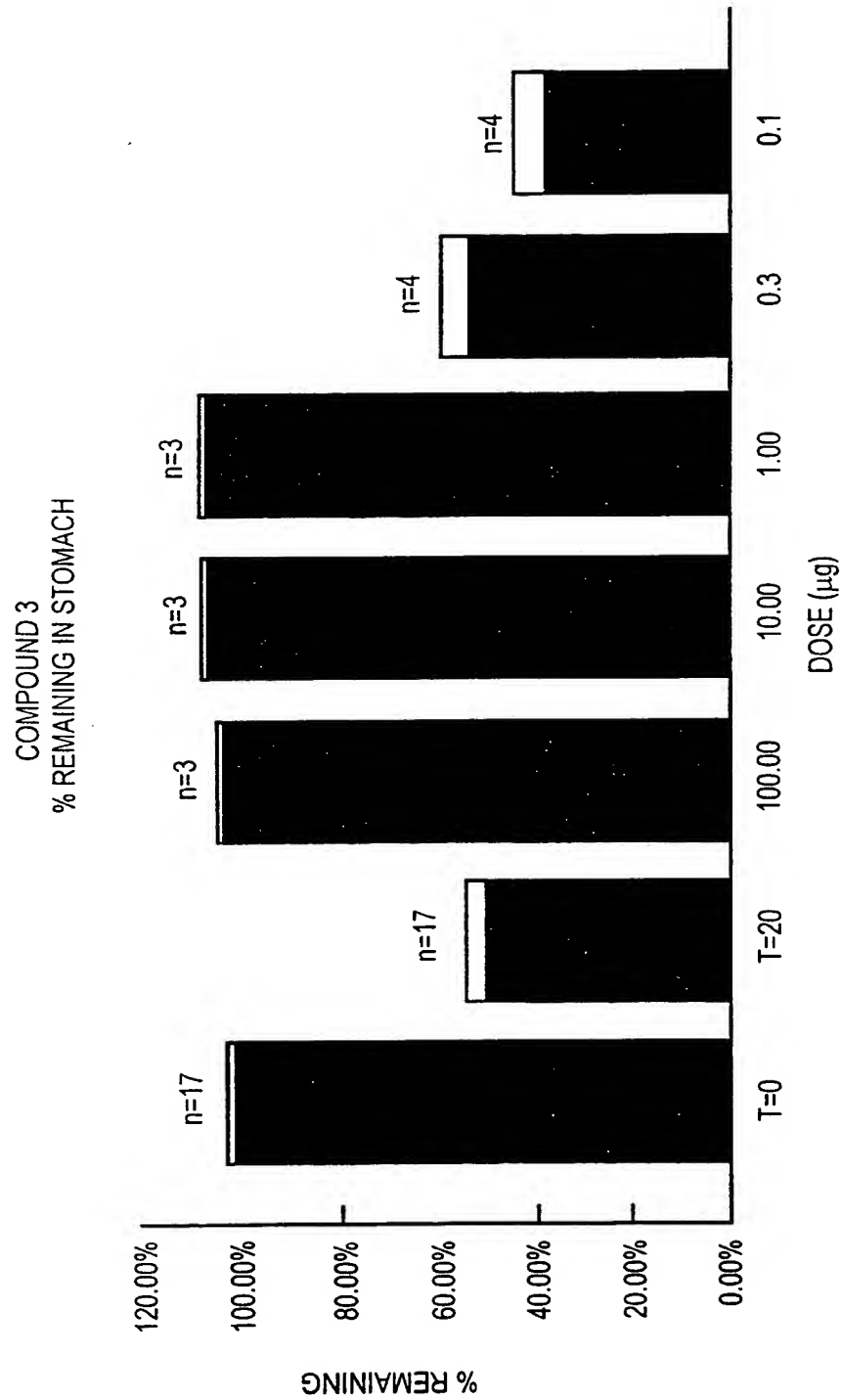


Fig. 7

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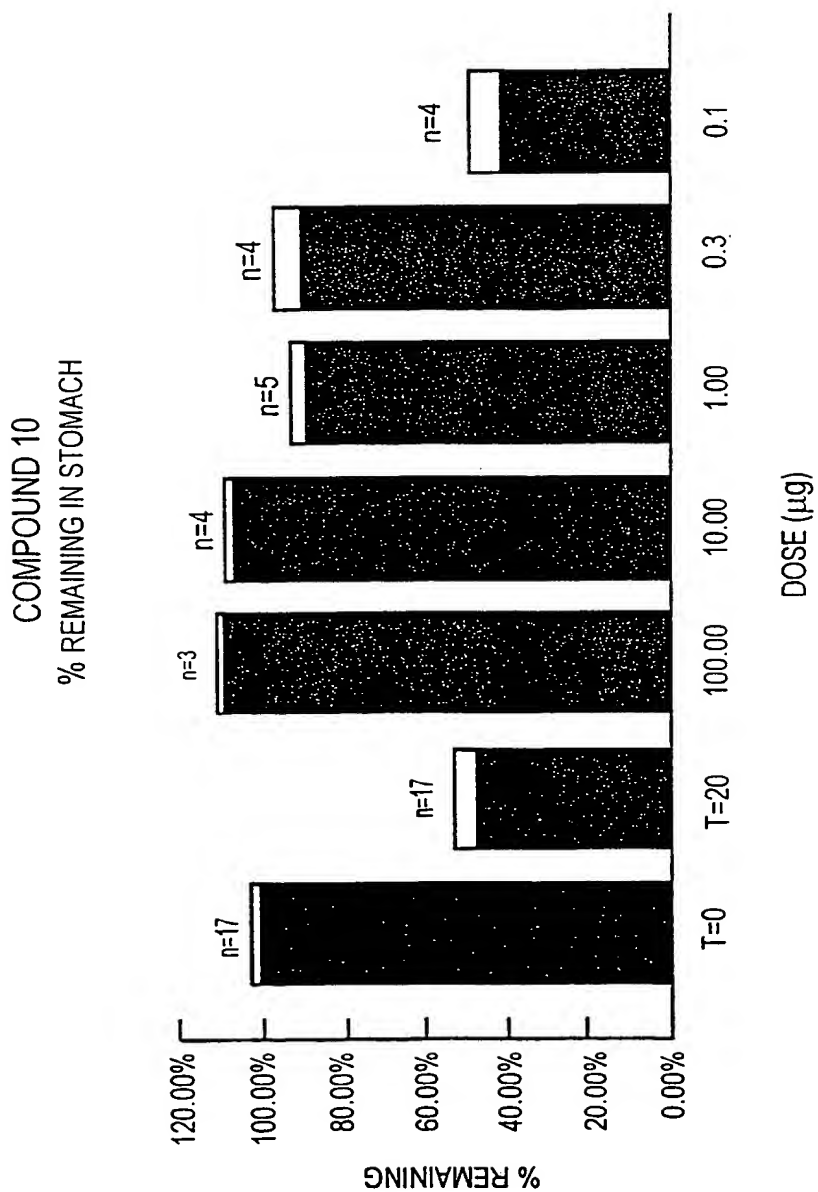


Fig. 8

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COMPOUND 13  
% REMAINING IN STOMACH

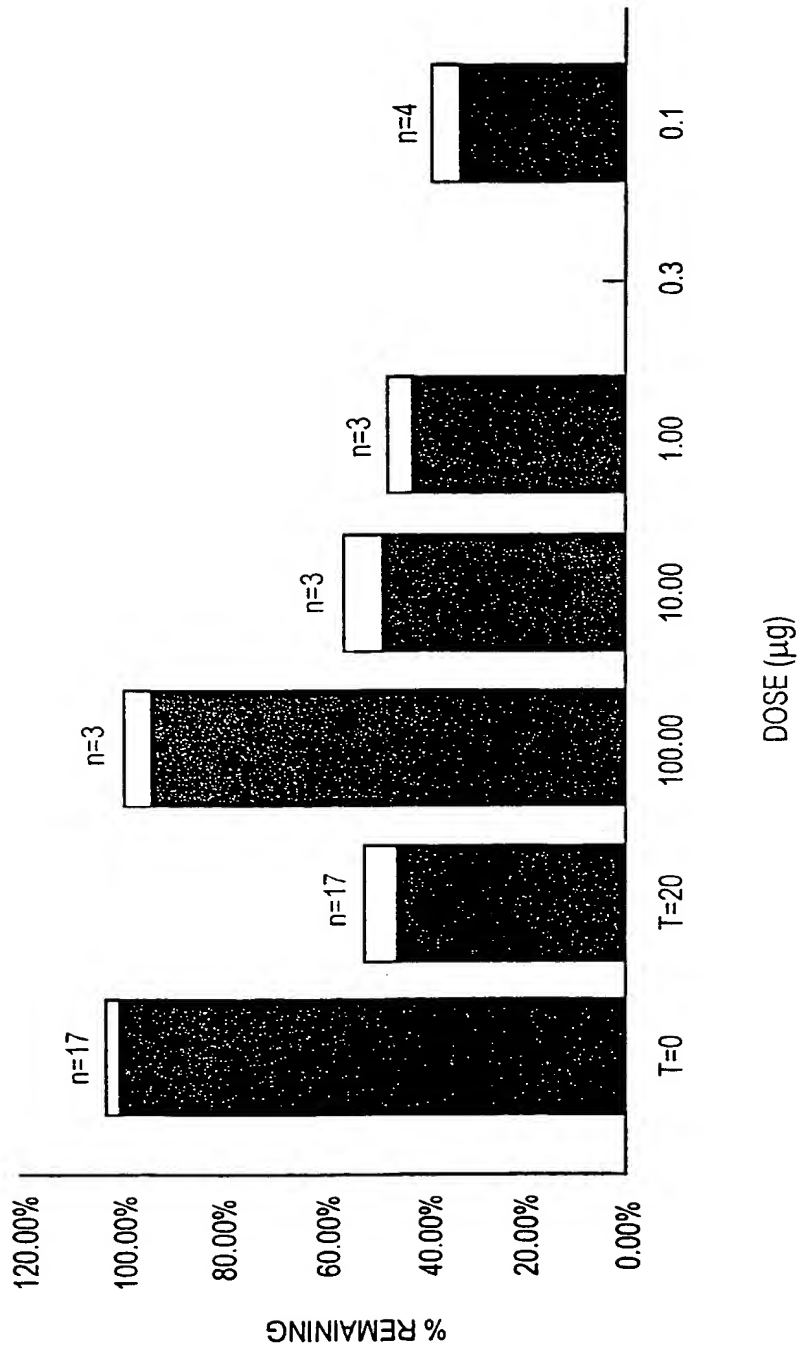


Fig. 9



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Compound  
No.

- 62 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Met Glu Glu Ala Val Arg Leu  
Phe Ile Glu Trp Leu Lys-NH<sup>+</sup>octanoyl Asn-NH<sub>2</sub>, [SEQ. ID NO. 67]
- 63 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Leu Glu Glu Ala Val Arg Leu  
Phe Ile Glu Phe Leu Lys-NH<sup>+</sup>octanoyl Asn-NH<sub>2</sub>, [SEQ. ID NO. 68]
- 64 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Met Glu Glu Ala Val Arg Leu  
Phe Ile Glu Trp Leu Lys-NH<sup>+</sup>octanoyl Asn Gly Gly-NH<sub>2</sub>, [SEQ. ID NO. 69]
- 65 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Leu Glu Glu Ala Val  
Arg Leu Phe Ile Glu Phe Leu Lys-NH<sup>+</sup>octanoyl Asn Gly Gly-NH<sub>2</sub>, [SEQ. ID NO. 70]
- 66 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Met Glu Glu Ala Val Arg Leu  
Phe Ile Glu Trp Leu Asn Lys-NH<sup>+</sup>octanoyl-NH<sub>2</sub>, [SEQ. ID NO. 71]
- 67 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Leu Glu Glu Ala Val Arg Leu  
Phe Ile Glu Phe Leu Asn Lys-NH<sup>+</sup>octanoyl-NH<sub>2</sub>, [SEQ. ID NO. 72]
- 68 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Met Glu Glu Ala Val Arg Leu  
Phe Ile Glu Trp Leu Asn Lys-NH<sup>+</sup>octanoyl Gly Gly-NH<sub>2</sub>, [SEQ. ID NO. 73]
- 69 4-Imidazolylpropionyl-Gly Glu Gly Thr Ser Asp Leu Ser Lys Gln Leu Glu Glu Ala Val Arg Leu  
Phe Ile Glu Phe Leu Asn Lys-NH<sup>+</sup>octanoyl Gly Gly-NH<sub>2</sub>, [SEQ. ID NO. 74]

Fig. 10